

APPLICANT: Oxford, et al.
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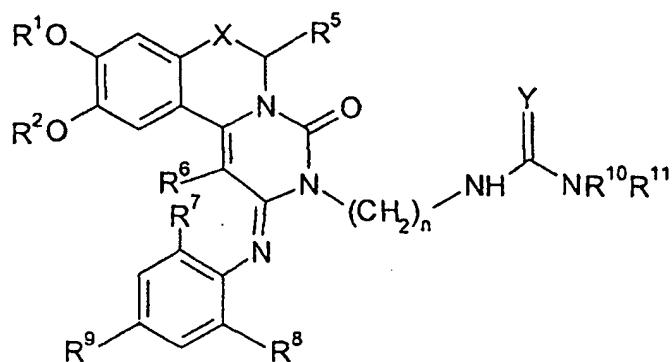
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This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of claims:

Claims 1-15 (cancelled).

Claim 16 (currently amended): A process for preparing a compound of general formula I: ~~as defined in claim 1,~~



I

wherein

each of R¹ and R² independently represents a C₁₋₆ alkyl or C₂₋₇ acyl group;

R⁵ represents a hydrogen atom or a C₁₋₃ alkyl, C₂₋₃ alkenyl or C₂₋₃ alkynyl group;

R⁶ represents a hydrogen atom or a C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, amino, C₁₋₆ alkylamino, di(C₁₋₆) alkylamino or C₂₋₇ acylamino group;

each of R⁷ and R⁸ independently represents a hydrogen or halogen atom or a hydroxy, trifluoromethyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₂₋₇ acyl, C₁₋₆ alkylthio, C₁₋₆ alkoxy, C₃₋₆ cycloalkyl; and

R⁹ represents a hydrogen or halogen atom or a hydroxy, trifluoromethyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₂₋₇ acyl, C₁₋₆ alkylthio, C₁₋₆ alkoxy or C₃₋₆ cycloalkyl group;

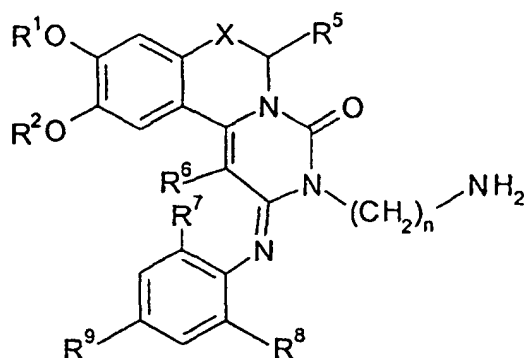
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X represents OCH_2 or a group CR^3R^4 , wherein each of R^3 and R^4 independently represents a hydrogen atom or a C_{1-3} alkyl group;
each of R^{10} and R^{11} independently represents a hydrogen atom, a C_{1-3} alkyl, C_{3-6} cycloalkyl or phenyl group;
Y represents an oxygen atom or a group CHNO_2 , NCN , NH or NNO_2 ;
n is an integer from 2 to 4;
or a salt thereof,

the process comprising:

- (a) derivatising a compound of general formula II:



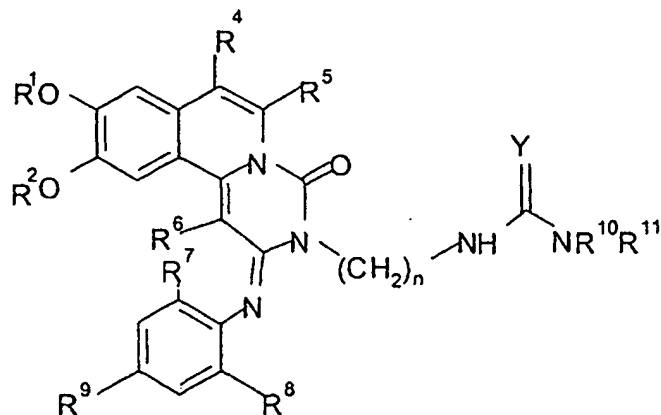
II

wherein R^1 , R^2 , R^5 , R^6 , R^7 , R^8 , R^9 , X and n are as defined for general formula I, with one or more compounds capable of reacting at the primary amine group of the aminoalkyl moiety $-(\text{CH}_2)_n\text{-NH}_2$, to form a compound of general formula I; or

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(b) when X in general formula I represents a group CR^3R^4 , wherein R^3 represents a hydrogen atom, R^4 represents a hydrogen atom or a C_{1-3} alkyl group, and R^5 represents a hydrogen atom or a C_{1-3} alkyl group, hydrogenating a compound of general formula III:



III

wherein $\text{R}^1, \text{R}^2, \text{R}^6, \text{R}^7, \text{R}^8, \text{R}^9, \text{R}^{10}, \text{R}^{11}, \text{Y}$ and n are as defined for general formula I; and

(c) optionally converting a compound of general formula I so formed into another compound of general formula I.

Claim 17 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents an oxygen atom and each of R^{10} and R^{11} represents a hydrogen atom, a compound of general formula II is derivatised with sodium cyanate.

Claim 18 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents an oxygen atom, R^{10} represents a hydrogen atom and R^{11} represents a C_{1-3} alkyl, C_{3-6} cycloalkyl or phenyl group, a compound of general formula II is derivatised with an isocyanate of the general formula R^{11}NCO .

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Claim 19 (original): A process as claimed in claim 18, wherein the isocyanate is isopropylisocyanate or phenylisocyanate.

Claim 20 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents CHNO_2 , R^{10} represents a hydrogen atom and R^{11} represents a C_{1-3} alkyl or C_{3-6} cycloalkyl group, a compound of general formula II is derivatised with an N- C_{1-3} alkyl- or N- C_{3-6} cycloalkyl-1-(methylthio)-2-nitroethenamine of the general formula $\text{CH}_3\text{SC}(=\text{CHNO}_2)\text{NR}^{10}\text{R}^{11}$.

Claim 21 (original): A process as claimed in claim 20, wherein the compound of general formula II is derivatised with N-methyl-1-(methylthio)-2-nitroethenamine.

Claim 22 (original): A process as claimed in claim 16, wherein in general formula I, when Y represents CHNO_2 , a compound of general formula II is reacted first with 1,1-bis(methylthio)-2-nitroethylene and the resulting compound is then reacted with an amine of the general formula $\text{R}^{10}\text{R}^{11}\text{NH}$, wherein R^{10} and R^{11} are as defined for general formula I.

Claim 23 (original): A process as claimed in claim 22, wherein the amine is isopropylamine or dimethylamine.

Claim 24 (original): A process as claimed in claim 16, wherein when in general formula I, Y represents NH, a compound of general formula II is derivatised with a compound of general formula $\text{CH}_3\text{SC}(=\text{NH})\text{NR}^{10}\text{R}^{11}$ or a salt thereof, wherein R^{10} and R^{11} are as defined for general formula 1.

Claim 25 (original): A process as claimed in claim 16, wherein when in general formula I, Y represents NCN, a compound of general formula II is derivatised with a compound of

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general formula $\text{CH}_3\text{SC}(=\text{NCN})\text{NR}^{10}\text{R}^{11}$ or a salt thereof, wherein R^{10} and R^{11} are as defined for general formula I.

Claims 26-50 (cancelled).

Claim 51 (new): A process as claimed in claim 16, wherein independently or in any compatible combination:

each of R^1 and R^2 represents a C_{1-6} alkyl;
 R^1 and R^2 are the same as each other;
each of R^3 and R^4 represents a hydrogen atom;
 R^5 represents a hydrogen atom;
 R^6 represents a hydrogen atom;
each of R^7 and R^8 represents a C_{1-6} alkyl;
 R^7 and R^8 are the same as each other;
 R^9 represents a halogen atom or a methyl or acetyl group;
Y represents an oxygen atom or a group CHNO_2 ; and
n is 2.

Claim 52 (new): A process as claimed in claim 51, wherein each of R^1 and R^2 represents a C_{1-4} alkyl, group; and each of R^7 and R^8 represents a methyl, ethyl or isopropyl group.

Claim 53 (new): A process as claimed in claim 16, wherein the compound of general formula I is selected from the group consisting of:

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-(*N*-carbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

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9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(*N'*-isopropylcarbamoyl)-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-[1-(*N'*-methyl-2-nitroethenamine)]-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-[1-(*N'*-isopropyl-2-nitroethenamine)]-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-[1-(*N'*, *N'*-dimethyl-2-nitroethenamine)]-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

9,10-Dimethoxy-2-(2,4,6-trimethylphenylimino)-3-[*N*-(*N'*-phenylcarbamoyl)-2-aminoethyl]-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-2-one;

9, 10-Dimethoxy-3-[2-guanidinoethyl]-2-(2,4,6-trimethylphenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

9,10-Dimethoxy-3-[*N*-(*N'*-nitro)-2-guanidinoethyl]-2-(2,4,6-trimethylphenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

3-[*N*-(*N'*-Cyclohexylcarbamoyl)-2-aminoethyl]-9,10-dimethoxy-2-(2,4,6-trimethyl-phenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

3-(*N*-Carbamoyl-2-aminoethyl)-9,10-dimethoxy-2-(2-methylphenylimino)- 3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

3-(*N*-Carbamoyl-2-aminoethyl)-2-(2,6-diisopropylphenylimino)-9,10-dimethoxy-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one;

3-(*N*-Carbamoyl-4-aminobutyl)-9,10-dimethoxy-2-(2,4,6-trimethylphenylimino)- 3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one; and

3-[*N*-(*N'*-Cyano-*N''*-methyl)-2-guanidinoethyl]-9,10-dimethoxy-2-(2,4,6-trimethyl-phenylimino)-3,4,6,7-tetrahydro-2*H*-pyrimido[6,1-*a*]isoquinolin-4-one.